



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 309/10, 211/60, C07H 15/26, C07C 229/46, C07D 309/06, A61K 31/70, 31/35	A3	(11) International Publication Number: WO 99/29705 (43) International Publication Date: 17 June 1999 (17.06.99)
(21) International Application Number: PCT/US98/25783 (22) International Filing Date: 4 December 1998 (04.12.98) (30) Priority Data: 60/067,971 8 December 1997 (08.12.97) US (63) Related by Continuation (CON) or Continuation-in-Part (CIP) to Earlier Application US Not furnished (CON) Filed on Not furnished (71) Applicants (for all designated States except US): GLYCOMED INCORPORATED [US/US]; c/o Ligand Pharmaceuticals Incorporated, 10275 Science Center Drive, San Diego, CA 92121 (US). SANKYO CO., LTD. [JP/JP]; 2-58, Hiromachi 1-chome, Shinagawa-ku, Tokyo 140-8710 (JP). (72) Inventors; and (75) Inventors/Applicants (for US only): ANDERSON, Mark, B. [US/US]; 41 Las Cascadas Road, Orinda, CA 94563 (US). KOBAYASHI, Yoshiyuki [JP/JP]; 1-2-58, Hiromach, Shinag, Tokyo (JP). ITOH, Kazuhiro [JP/JP]; Sankyo Company, Limited, 2-58, Hiromachi 1-chome, Shinagawa-ku, Tokyo (JP). HOLME, Kevin, R. [US/US]; 13644 Landfair Road, San Diego, CA 92130 (US). CUI, Jingrong [CN/US]; 7693 Palmilla Drive #2427, San Diego, CA 92122 (US). FUGEDI, Peter [HU/US]; 2465 Shoreline Drive #114,		Alameda, CA 94501 (US). PETO, Csaba, F. [HU/US]; 965 Shorepoint Court #305, Alameda, CA 94501 (US). WANG, Li [CN/US]; 1200 Dale Avenue #123, Mountain View, CA 94040 (US). VAZIR, Harish [US/US]; 3338 Cowley Way #2, San Diego, CA 92117 (US). (74) Agents: WOLFF, Jessica, R. et al.; Lyon & Lyon LLP, Suite 4700, 633 West Fifth Street, Los Angeles, CA 90071-2066 (US). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> (88) Date of publication of the international search report: 19 August 1999 (19.08.99)
(54) Title: SIALYL LEWIS X AND SIALYL LEWIS A GLYCOMIMETICS		
(57) Abstract The present invention provides a series of compounds in the form of chemically and physiologically stable glycomimics or glycoepitopes that serve to functionally mimic the active features of biologically important oligosaccharides, such as but not limited to sialyl Lewis ^x (sLe ^x) and sialyl Lewis ^a (sLe ^a). These structural Glycomimetics have been shown to be useful in the treatment of acute and chronic diseases as well as for the treatment of asthma. These compounds also are useful in the treatment of other selectin-mediated disorders, such as inflammation, cancer, diabetes, obesity, lung vasculitis, cardiac injury, reperfusion injuries, thrombosis, tissue rejection, arthritis, inflammatory bowel disease and pulmonary inflammation.		

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece	ML	Mali	TR	Turkey
BG	Bulgaria	HU	Hungary	MN	Mongolia	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MR	Mauritania	UA	Ukraine
BR	Brazil	IL	Israel	MW	Malawi	UG	Uganda
BY	Belarus	IS	Iceland	MX	Mexico	US	United States of America
CA	Canada	IT	Italy	NE	Niger	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NL	Netherlands	VN	Viet Nam
CG	Congo	KE	Kenya	NO	Norway	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NZ	New Zealand	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	PL	Poland		
CM	Cameroon	KR	Republic of Korea	PT	Portugal		
CN	China	KZ	Kazakstan	RO	Romania		
CU	Cuba	LC	Saint Lucia	RU	Russian Federation		
CZ	Czech Republic	LI	Liechtenstein	SD	Sudan		
DE	Germany	LK	Sri Lanka	SE	Sweden		
DK	Denmark	LR	Liberia	SG	Singapore		
EE	Estonia						

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 98/25783

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D309/10 C07D211/60 C07H15/26 C07C229/46 C07D309/06
A61K31/70 A61K31/35

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D C07H C07C A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 761 661 A (HOECHST AG) 12 March 1997 see page 10 ---	1-25
X	DE 195 37 334 A (HOECHST AG) 10 April 1997 see page 4 ---	1-25
A	WO 97 30984 A (GLYCOMED INC) 28 August 1997 cited in the application -----	

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

26 March 1999

Date of mailing of the international search report

09. 07. 99

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Bardili, W

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 98/25783

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1 - 25 (in part)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

1. Claims: 1-25 (part)

Five- and six-membered heterocyclic compounds as depicted in the first and third general formula of the claim and their use as a medicament.

2. Claims: 1-25 (part)

Cyclohexane compounds as depicted in the second general formula of the claim and their use as a medicament.

3. Claims: 1-25 (part)

Aliphatic compounds as depicted in the fourth general formula of the claim and their use as a medicament.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 98/25783

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0761661 A	12-03-1997	DE 19532902 A	13-03-1997
		CA 2184881 A	07-03-1997
		JP 9124679 A	13-05-1997

DE 19537334 A	10-04-1997	AU 6799796 A	17-04-1997
		BR 9605024 A	30-06-1998
		CA 2187392 A	10-04-1997
		CN 1150155 A	21-05-1997
		CZ 9602940 A	16-04-1997
		EP 0787739 A	06-08-1997
		HR 960459 A	28-02-1998
		HU 9602745 A	28-05-1997
		JP 9110834 A	28-04-1997
		NO 964268 A	10-04-1997
		PL 316429 A	14-04-1997
		SI 9600296 A	30-04-1997
		SK 127796 A	07-05-1997
		TR 970326 A	22-04-1997
		US 5739300 A	14-04-1998

WO 9730984 A	28-08-1997	US 5789385 A	04-08-1998
		AU 2136597 A	10-09-1997
		EP 0882034 A	09-12-1998
